$$R \xrightarrow[H]{O} NH_2$$

$$R \underset{H}{\overset{H}{\underset{O}{\bigvee}}} \underset{N}{\overset{H}{\underset{N}{\bigvee}}} \underset{N}{\overset{H}{\underset{N}{\bigvee}}}$$

hydrazine

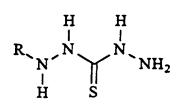
semicarbazide

carbazide

$$R \underset{O}{\overset{H}{\bigvee}}_{NH_2}$$

a sheet that the sheet in the sheet that the sheet

$$R \bigvee_{\substack{N \\ | \\ H}} NH_2$$



hydrazide

thiosemicarbazide

thiocarbazide

$$R \xrightarrow[H]{O} H \xrightarrow[N]{H} NH_{2}$$

$$R \xrightarrow{O} NH_{2}$$

carbonic acid dihydrazine

hydrazine carboxylate

FIG. 1

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H

PBS, pH 7.4

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FIG. 3

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FIG. 4

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Preparation of 5'-aromatic alehyde-modified oligonucleotide:

Preparation of 5'-heteroaromatic hydrazine-modified oligonucleotide:

Conjugation of hydrazino-modified oligonucleotide to aldehyde-modified oligonucleotide

FIG. 5

1

phosgene, Et3N, THF

2

t-butyl carbazate, THF

N H N H H 3

1) HCl/dioxane

2) acetone, MeOH

NHS, DCC, THF

FIG. 6

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